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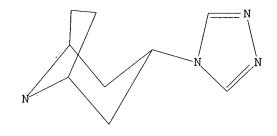
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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS
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AN 2001:868452 CAPLUS

TI Preparation of therapeutic tropane derivatives

IN Perros, Manoussos; Price, David Anthony; Stammen, Blanda Luzia Christa; Wood, Anthony

PA Pfizer Limited, UK; Pfizer Inc.

SO PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		_																
	PATENT NO.			KIND DATE			APPLICATION NO.				ο.	DATE						
ΡI	WO	2001090106			A2		20011129		WO 2001-IB806			20010509						
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			GB 2000-1404				4046	Α	2000	0526								
									GB 2000-15835					Α	2000	0627		

GΙ

This epph

The tropanes I (R1 = C3-6 cycloalkyl optionally substituted by one or more fluorine atoms, C1-6 alkyl optionally substituted by one or more fluorine atoms, C3-6 cycloalkylmethyl optionally ring-substituted by one or more fluorine atoms; R2 = Ph optionally substituted by one or more fluorine atoms) and their pharmaceutically acceptable salts and solvates were prepd. Thus, (1S)-3-[3-(3-isopropyl-5-methyl-4H-1,2,4-triazol-4-yl)-exo-8-azabicyclo[3.2.1]oct-8-yl]-1-phenyl-1-propanamine, prepn. given, was treated with cyclobutanecarboxylic acid in presence of polymer bound N-benzyl-N'-cyclohexylcarbodiimide to give I (R1 = cyclobutyl, R2 = Ph). I had an IC50 value of less than 10nM in the assay for CCR5 binding.

IT 376348-65-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tropane derivs. as CCR5 receptor antagonists)

Ι

RN 376348-65-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

ΙT 376348-62-8P 376348-63-9P 376348-64-0P 376348-66-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of tropane derivs. as CCR5 receptor antagonists)

RN376348-62-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).

RN376348-63-9 CAPLUS CN

INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).

RN 376348-64-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).

$$_{\mathrm{F}_{3}\mathrm{C}}$$
 $_{\mathrm{H}}^{\mathrm{Ph}}$ $_{\mathrm{R}}^{\mathrm{N}}$ $_{\mathrm{i-Pr}}^{\mathrm{Me}}$

376348-66-2 CAPLUS RN CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 376348-71-9

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of tropane derivs. as CCR5 receptor antagonists)

RN376348-71-9 CAPLUS

INDEX NAME NOT YET ASSIGNED CN

Absolute stereochemistry.

ΙT 376348-70-8P 376348-72-0P 376348-73-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of tropane derivs. as CCR5 receptor antagonists)

RN 376348-70-8 CAPLUS

INDEX NAME NOT YET ASSIGNED CN

Absolute stereochemistry.

RN 376348-72-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 376348-73-1 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 376348-80-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

09/885950 => d 1-7 fbib absL8 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS 2000:456881 CAPLUS AN DN 133:89523 Preparation of acylaminophenylpropylbenzimidazolylazabicycloalkanes and TΤ related compounds as CCR5 receptor modulators. Armour, Duncan Robert; Price, David Anthony; Stammen, Blanda Luzia IN Christa; Wood, Anthony; Perros, Manoussos; Edwards, Martin Paul Pfizer Ltd., UK; Pfizer, Inc. PA SO PCT Int. Appl., 205 pp. CODEN: PIXXD2 DΨ Patent LA English FAN.CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE _____ ___ _____ WO 2000038680 A1 20000706 WO 1999-IB2048 19991223 PΙ W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 20011010 EP 1999-959624 19991223 EP 1140085 A1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 WO 1999-IB2048 W 19991223 BR 9917007 20011030 BR 1999-17007 Α 19991223 GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 WO 1999-IB2048 W 19991223 NO 2001003183 Α 20010808 NO 2001-3183 20010625 GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 WO 1999-IB2048 W 19991223 PATENT FAMILY INFORMATION: 2000:441322 FAN PATENT NO. DATE KIND APPLICATION NO. DATE ____ _____ _____ PΙ EP 1013276 A1 20000628 EP 1999-309589 19991130 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO GB 1998-28420 A 19981223 GB 1999-22702 A 19990925 JP 2000212159 A2 20000802 JP 1999-363578 19991222 GB 1998-28420 A 19981223

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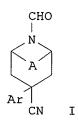
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                                           WO 1999-IB1913 W 19991201
     MARPAT 133:89523
     RaRbRcRd [Ra = specified (substituted) arylheterocyclyl, amidoaryl,
     amidoheterocyclyl; Rb = specified (substituted) Et bridging unit; Rc =
     specified (substituted) azabicyclyl; Rd = specified (substituted)
     imidazolyl, pyrazolyl, heterocyclyl, amide, carbamate, urea moiety], were
     prepd. as CCR5 receptor modulators (no data). Thus, N-(3-oxo-1-
     phenylpropyl)cyclobutanecarboxamide (prepn. given), exo-1-(8-
     azabicyclo[3.2.1]oct-3-yl)-2-methyl-1H-benzimidazole (prepn. given), and
     Na(AcO)3BH were stirred 24 h in CH2Cl2/HOAc to give N-[3-[3-exo-(2-methyl-
     1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]-1-
     phenylpropyl]cyclobutanecarboxamide dihydrochloride.
RE.CNT 13
(1) F Hoffmann-La Roche Ag; EP 0903349 A 1999 CAPLUS
(2) Leukosite Inc; WO 9802151 A 1998 CAPLUS
(3) Leukosite Inc; WO 9937617 A 1999 CAPLUS
(4) Leukosite Inc; WO 9937619 A 1999 CAPLUS
(5) Merck & Co Inc; WO 9825604 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS
     1999:354393 CAPLUS
     130:348561
     Preparation of bicyclic amines as insecticides
     Salmon, Roger; Urch, Christopher John
     Zeneca Limited, UK
     PCT Int. Appl., 26 pp.
     CODEN: PIXXD2
     Patent
     English
FAN.CNT 1
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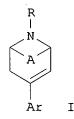


OS. GI

AB The bicyclic amines I [A = XC:CY or XCHCHY; X, Y = H, OH, acyloxy, alkoxy, cyano or halo; Ar = (un)substituted Ph or heteroaryl; when A = CH2CH2, then Ar is neither 5-chloropyrid-3-yl nor 5-trifluoromethylpyrid-3-yl] and acid addn. salts, quaternary ammonium salts or N-oxides of I are prepd. ad insecticides, acaricides or nematocides.

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insecticides, acaricides or nematocides.
RE.CNT
RE
(1) Zeneca; GB 2301819 A 1996 CAPLUS
(2) Zeneca; WO 9637494 A 1996 CAPLUS
(3) Zeneca; WO 9743286 A 1997 CAPLUS
Г8
     ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS
ΑN
     1998:708819 CAPLUS
DN
     129:316150
TI
     Preparation of bicyclic amine derivatives as pesticides
     Godfrey, Christopher Richard Ayles; Salmon, Roger; Russell, Charles Adam
IN
PA
     Zeneca Ltd., UK
     PCT Int. Appl., 31 pp.
SO
     CODEN: PIXXD2
DT
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LA
     English
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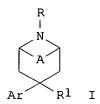
GΙ

ΑB The title compds. [I; A = WXCCYZ, XC:CY; Ar = (un)substituted Ph, (un) substituted 5- or 6-membered unsatd., (benzo-fused) heterocyclyl with 1-3 N, O, S; R = H, CHO, cyano, (un) substituted C1-15 alkyl, aryl, aralkyl, (hetero)aryl, (aryl)alkenyl, etc., a proviso is given; W, X, Y, Z = H, OH, acyloxy, alkoxy, alkylsilyloxy, cyano, halo], useful as insecticides, acaricides and nematocides, were prepd. by dehydration of the parent aryl heterocyclyl alcs. For example, adding a THF soln. of 8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octan-3-one to lithiated 3.5-dibromopyridine in THF at -78.degree. and stirring the mixt. for 2 h at -60.degree. gave exo-3-(5-bromopyrid-3-yl)-endo-3-hydroxy-8-(2,2,2trifluoroethyl)-8-azabicyclo[3.2.1]octane. This was dissolved in CH2Cl2, stirred with Et3N and MeSO2Cl under N for 1 h at 0.degree. and allowed to react at ambient temp. for .apprx.3 days to give a title compd. 3-(5-bromopyrid-3-y1)-8-(2,2,2-trifluoroethy1)-8-azabicyclo[3.2.1]oct-2-The latter at 500 ppm gave 80-100% kill in a test against Tetranychus urticae. An emulsifiable conc., wettable powder, dusting powder, concd. liq., capsule suspension, aq. suspension conc. and H2O-dispersible granule formulation contg. 3-(6-chloropyrid-3-y1)-8-methyl-8-azabicyclo[3.2.1]oct-2-ene were given.

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AN
     1998:402440 CAPLUS
DN
     129:67708
     Preparation of 8-azabicyclo[3.2.1]octane, 8-azabicyclo[3.2.1]oct-6-ene,
TΙ
     9-azabicyclo[3.3.1]nonane, 9-aza-3-oxabicyclo[3.3.1]nonane, and
     9-aza-3-thiabicyclo[3.3.1] nonane derivatives as insecticides
     Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon,
ΙN
     Raymond; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian;
     et al.
     Zeneca Ltd., UK; Urch, Christopher John; Lewis, Terence; Sunley, Raymond
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GB 1996-24614 A 19961126
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GI



AB Compds. of formula [I; A = a bidentate group of the formula CH2XCH2 (wherein X = methylene, O, or S), X'C:CY or X'WCCYZ (wherein X', W, Y, Z = H, OH, acyloxy, alkoxy, alkylsilyloxy, cyano or halogen, or X' and W or Y and Z together with the carbon to which they are attached form a carbonyl group), provided that A .noteq. CH2CH2; Ar = optionally substituted Ph or 5- or 6-membered heterocyclic ring system contg. from 1 to 3 heteroatoms individually selected from N, O and S atoms, and at least one unsatn. (double bond) between adjacent atoms in the ring, said heterocyclic ring being optionally fused to a benzene ring; R = H or cyano or a group selected from alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamoyl, dithiocarboxyl, etc.; R1 = H, cyano, HO, alkyl, alkoxy, NH2, NO2, isocyanato, acylamino, hydroxyalkyl, optionally substituted heteroaryl, alkoxyalkyl, haloalkyl, halohydroxyalkyl, etc.; alkyl moieties of R comprise from 1 to 15 carbon atoms, and are optionally substituted with one or more substituents selected from, halogen, cyano, carboxyl, carboxyl acyl, etc.] or an acid addn. salt, quaternary ammonium salt or N-oxide derived therefrom are prepd. Also claimed are an insecticidal, acaricidal or nematicidal compn. comprising a compd. of formula I and a suitable carrier or diluent therefor and a method of combating and controlling insect, acarid or nematode pests at a locus which comprises treating the pests or the locus of the pests with an effective amt. of a compd. of formula I or a compn. as hereinbefore described. Thus, exo-3-cyano-9-methyl-9-azabicyclo[3.3.1]nonane and 3,5-dichloropyridine (prepn. given) in THF were treated dropwise with lithium bis(trimethylsilyl)amide, and the reaction mixt. was allowed to react ambient temp. for 18 h to give I [A = (CH2)3, Ar = exo-5-chloropyridyl, R = Me, R1 = endo-cyano], which at 500 ppm showed 80-100% mortality against peach aphid (Myzus persicae).

L8 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1998:402439 CAPLUS

DN 129:67707

TI Preparation of 8-azabicyclo[3.2.1]octane derivatives as insecticides, acaricides, and nematocides.

IN Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Roger; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian

PA Zeneca Ltd., UK; Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Roger; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian

SO PCT Int. Appl., 53 pp. CODEN: PIXXD2

DT Patent

LA English

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PATENT FAMILY INFORMATION:
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                     KIND DATE
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MARPAT 129:67707			

R N Ar R¹

OS GI

Title compds. [I; Ar = (substituted) Ph, 5- or 6-membered heterocyclyl; R AB = H, cyano, alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamyl, dithiocarboxyl, etc.; R1 = H, OH, alkyl, alkoxy, amino, NO2, isocyanato, acylamino, hydroxyalkyl, (substituted) heteroaryl, alkoxyalkyl, etc.; with provisos], were prepd. Thus, 2,5-dimethoxytetrahydrofuran, 2,2,2-trifluoroethylamine hydrochloride, acetonedicarboxylic acid, and NaOAc were stirred 2 days in H2O contg. HCl to give 8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octan-3-one. This was treated with tosylmethyl isocyanide in 1,2-dimethoxyethane/ethanol to give exo-3-cyano-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter in THF was treated with LDA and 3,5-dichloropyridine at -25.degree. to room temp. and the product was reduced with LiAlH4 in Et2O at -10.degree. to give exo-3-(5-chloropyrid-3-y1)-endo-3-formy1-8-(2,2,2trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter at 500 ppm on cabbage leaves gave 80-100% kill of Myzus persicae.

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L8 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS
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AN 1998:352836 CAPLUS

DN 129:27892

TI Preparation and insecticidal, acaricidal, and nematocidal activities of bicyclic amine derivatives

IN Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo

PA Zeneca Ltd., UK; Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

-----WO 1997-GB2990 19971030 WO 9822463 A1 19980528 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG GB 1996-24114 A 19961120 AU 9747893 A1 19980610 AU 1997-47893 19971030 GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 EP 942909 19990922 Α1 EP 1997-910547 19971030 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 CN 1237973 Α 19991208 CN 1997-199806 19971030 GB 1996-24114 A 19961120 BR 9713120 Α 20000411 BR 1997-13120 19971030 GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 JP 2001504477 Т2 20010403 JP 1998-523305 19971030 GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 US 5849754 Α 19981215 US 1997-969634 19971113

GB 1996-24114 A 19961120

KR 2000057147 A 20000915 KR 1999-704421 19990519 GB 1996-24114 A 19961120

OS MARPAT 129:27892

GΙ

AB Bicyclic amine derivs. I [R1 = optionally substituted 5-membered heterocyclic ring system contg. from 1 to 3 heteroatoms individually selected from nitrogen, oxygen and sulfur atoms, and at least one unsatn. (double bond) between adjacent atoms in the ring; R2 = hydrogen, cyano alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamyl, dithiocarboxyl, XR3 (X = oxygen, NR4); R3, R4 = hydrogen, alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, heterocyclylalkyl, alkoxycarbonyl or carboxylic acyl], useful as insecticides, acaricides, and nematocides, were prepd.

L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1997:307688 CAPLUS

DN 126:277402

TI New 4-aryl-3-aralkoxypiperidines and -azabicylooctanes for treating heart and kidney insufficiency

IN Binggeli, Alfred; Breu, Volker; Bur, Daniel; Fischli, Walter; Gueller,
Rolf; Hirth, Georges; Maerki, Hans-Peter; Mueller, Marcel; Oefner,
Christian; Stadler, Heinz; Vieira, Eric; Wilhelm, Maurice; Wostl, Wolfgang

PA F. Hoffmann-La Roche Ag, Switz.

SO PCT Int. Appl., 492 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

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CH 1995-2548

A 19950907

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CN	1202152	A	19981216	CN	1996-197674	19960829
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				US	1999-255185	A119990222

OS MARPAT 126:277402 GI

AB New piperidine and azabicyclooctane derivs. (> 1000 compds.) are renin inhibitors for treatment of high blood pressure, heart and kidney insufficiency. Thus, the piperidine deriv. I was prepd. from 1-benzyl-3-propyl-4-piperidinone by reaction with 4-FC6H4Br, followed by 1-benzyloxy-3-chloromethylnaphthalene and deblocking. I had a renin-inhibiting IC50 of 0.317 .mu.M.

Ι